

10/528979

JC17 Rec'd PCT/PTO 23 MAR 2005

Amendment

(Amendment stipulated under Article 11 of the Patent Law)

Commissioner Patent Office, Esq.

1. Indication of International Application

PCT/JP03/14610

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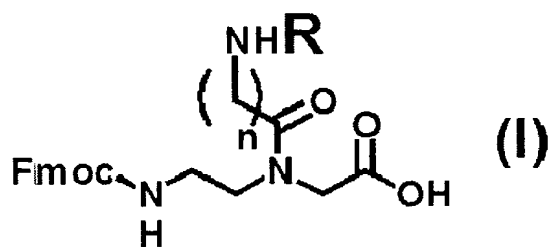
### 4. Object of the Amendment

Specification and Claims

### 5. Content of the Amendment

(1) (a) On lines 7 to 11 of page 11 of Specification, correct  
"Namely, the present invention relates to a compound  
represented by the following general formula (I):

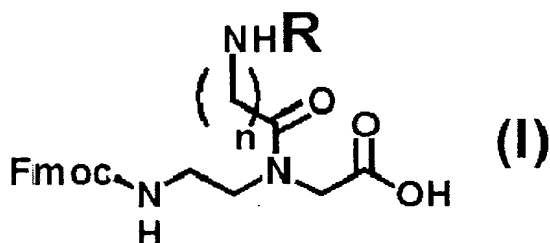
[Chemical 23]



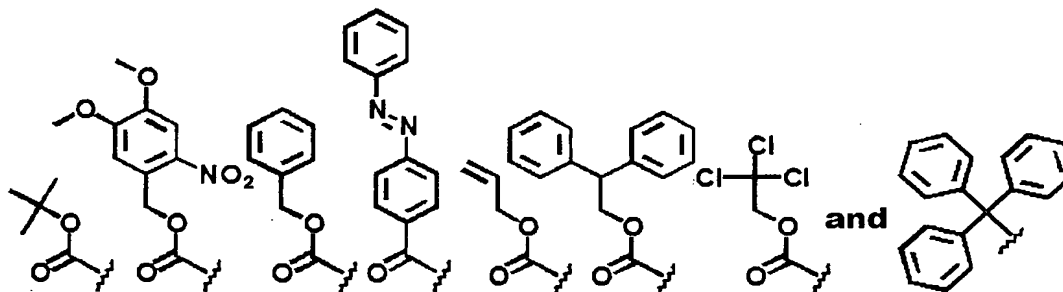
(wherein R represents H, a functional molecule or a protecting

to the wordings as follows:

[Chemical 23]



[Chemical 24]



3

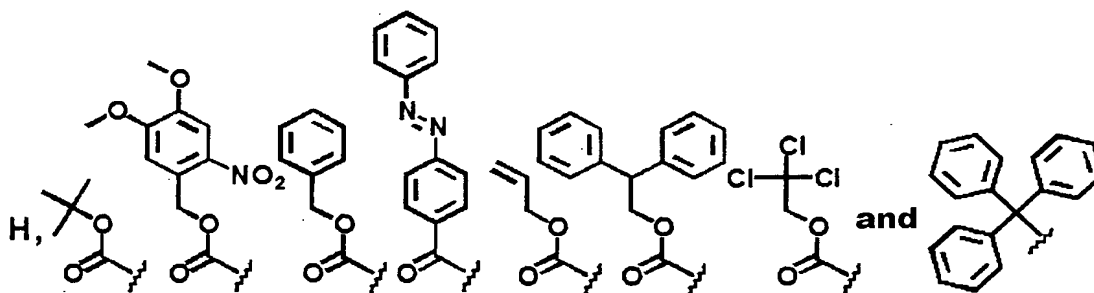
photo-crosslinking functional molecule, photosensitizing functional molecule, DNA-bonding molecule and DNA-severing functional molecule.

Moreover, the present invention relates to the aforementioned compound wherein the functional molecule contains a light-emitting molecule and a membrane-permeating functional molecule, and the membrane-permeating functional molecule is a water-soluble amino acid.

In addition, the present invention relates to the aforementioned compound wherein the functional molecule contains a light-emitting molecule and a light-dissipating molecule, and the light-emitting molecule is FITC, naphthalimide, flavin, FAM, rhodamine, TAMRA, ROX, pyrene or coumarine, and the light-dissipating molecule is Dabcyl, HABA, NDI or Azo.

The present invention relates to the above compound wherein R is selected from the group consisting of:

[Chemical 24]



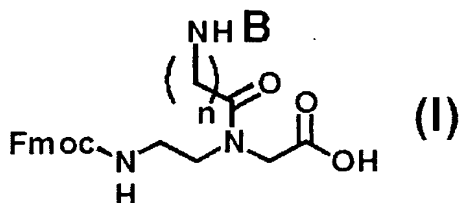
(c) Correct the portion "R represents H, a functional molecule or a protecting group" on line 7 of page 12 of Specification to "R represents a functional molecule or a protecting group,".

(d) Correct the portion "R represents H, a functional molecule or a protecting group" on line 3 and line 8 of page 13 of Specification to "R represents a functional molecule or a protecting group," respectively.

(e) Correct "R represents H, a functional molecule or a protecting group" on line 3 of page 14 of Specification to "R represents a functional molecule or a protecting group,".

(f) With respect to lines 1 to 6 of page 14 of Specification, "... relates to a method .....[Chemical 30] .....", the present invention relates to a method for producing a functional PNA oligomer that contains a step of substituting H, or a part or all of the protecting group sequentially or simultaneously with a functional molecule groups in B of a PNA oligomer to which is bonded one type or two or more types of a compound represented by the following general formula (I):

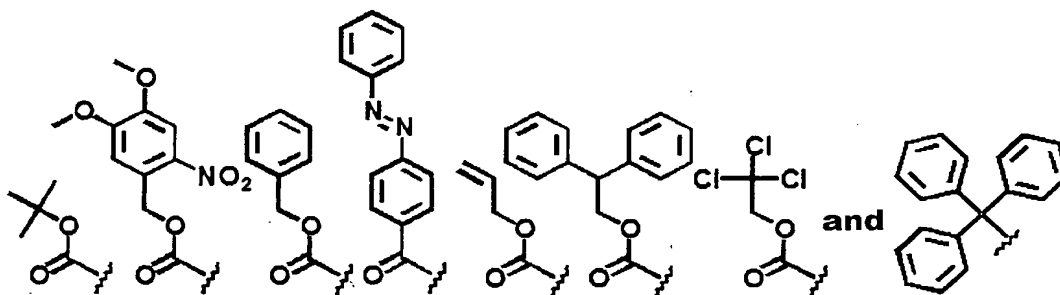
[Chemical 30]



(wherein B represents H, a functional molecule or a protecting group, and n represents an integer of 1 to 11).

(g) Correct the portion "In addition, the present invention relates to the aforementioned method wherein R is selected from the group composed as indicated below....." on lines 7 to 10 of page 14 of Specification to " In addition, the present invention relates to the aforementioned method wherein R is selected from the group composed as indicated below:

[Chemical 31]



(h) Correct the portion "R represents H, a functional molecule or a protecting group," on line 6 and 11 of page 15 of Specification to "R represents a functional molecule or a protecting group," respectively.

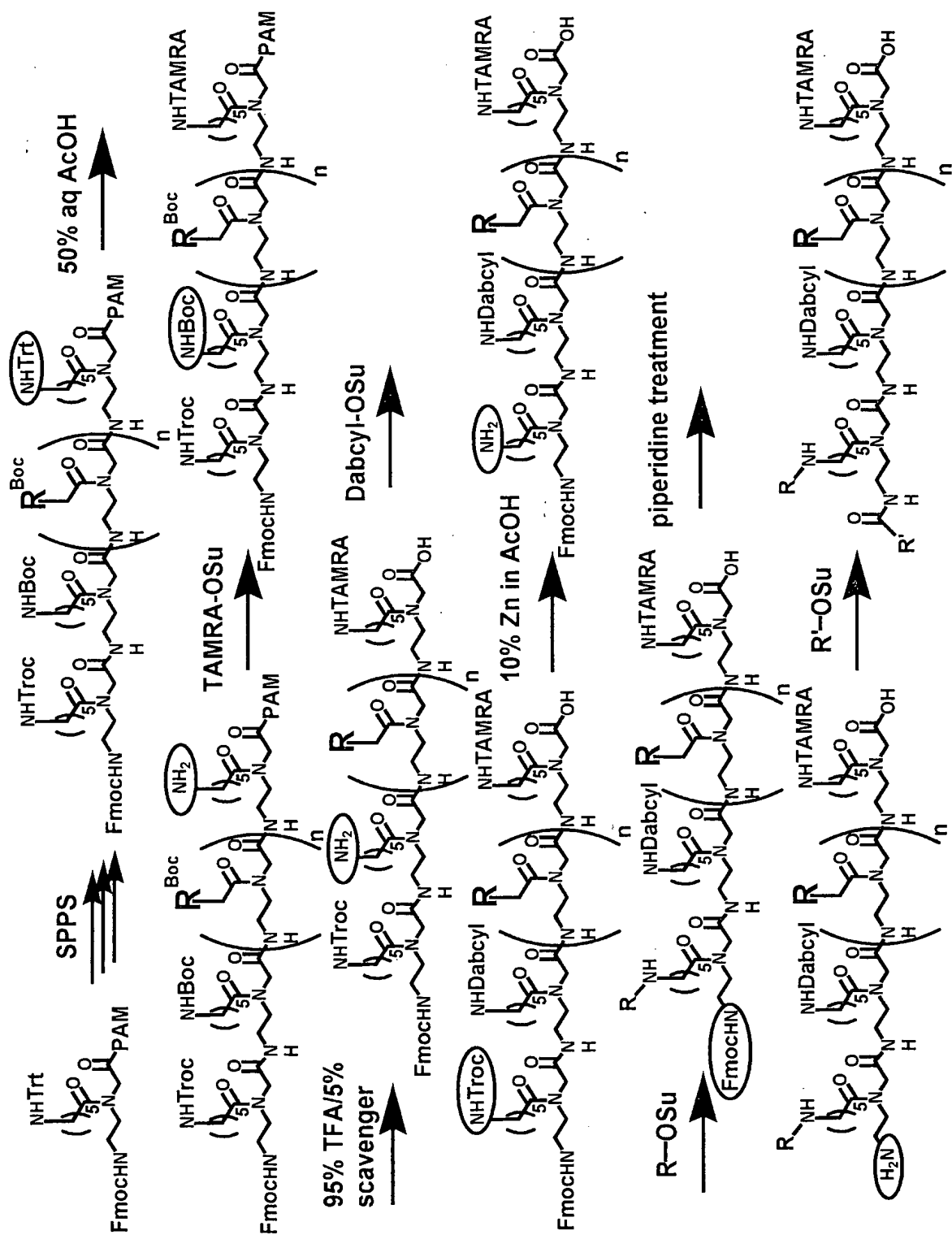
(i) Correct the entire page 31 of Specification as follows:

[Chemical 52]



(j) Correct page 32 of Specification as follows:

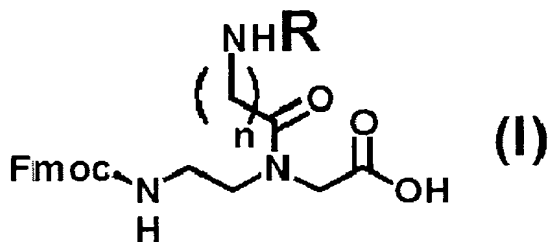
[Chemical 53]



(2) (a) Correct Claim 1 as follows:

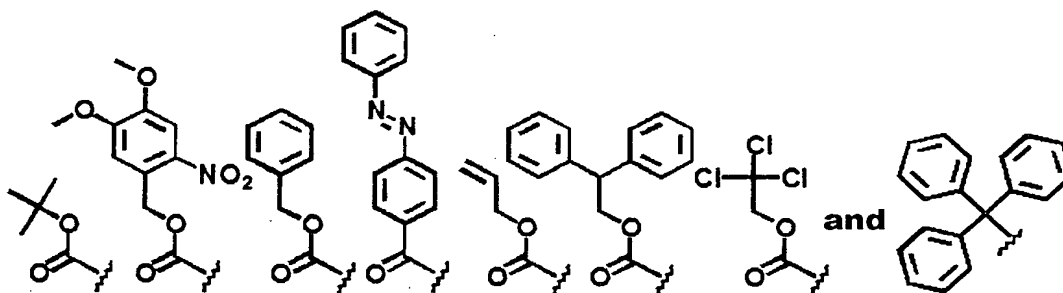
A compound represented by the following general formula (I):

[Chemical 1]



(wherein R is selected from the group consisting of the following group of functional molecules, and n represents an integer of 1 to 11).

[Chemical 2]

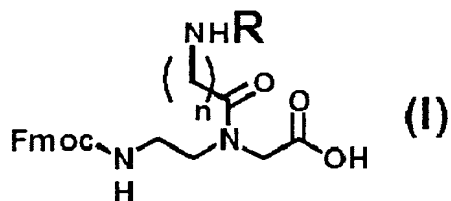


(b) Delete Claims 2, 3, 4 and 5.

(c) Correct Claim 6 as follows:

A method for producing a compound represented by the following general formula (I):

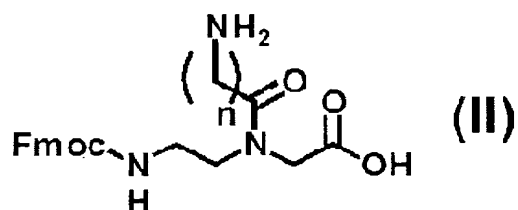
[Chemical 3]



(wherein R represents a functional molecule or protecting group, and n represents an integer of 1 to 11), wherein the aforementioned method contains one of the following steps a) through c):

a) a step of reacting a compound represented by the following general formula (II):

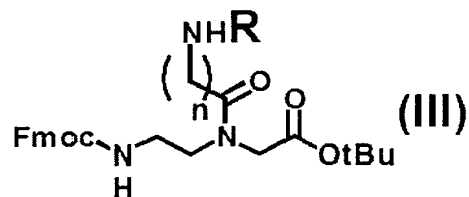
[Chemical 4]



(wherein n represents an integer of 1 to 11) with an active ester derivative with OSu or OPfp of a functional molecule or an isothiocyanate derivative of a functional molecule;

b) a step of hydrolyzing a compound represented by the following general formula (III):

[Chemical 5]

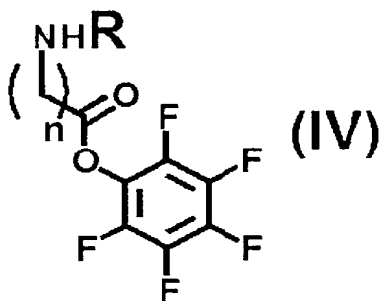


(wherein R represents a functional molecule or a protecting

group, and n represents an integer of 1 to 11); and,

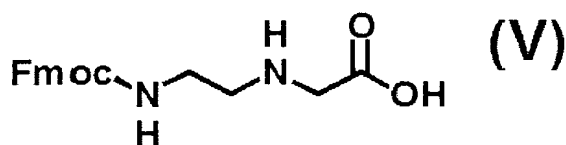
c) a step of reacting a compound represented by the following general formula (IV):

[Chemical 6]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11) with a compound represented by the following general formula (V).

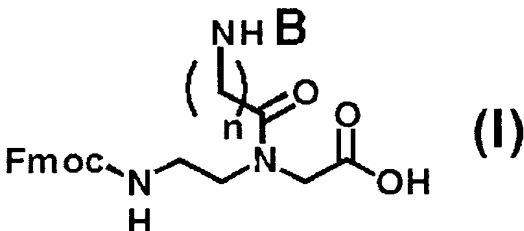
[Chemical 7]



(d) Correct Claim 7 as follows:

A method for producing a functional PNA oligomer comprising a step of substituting H or a part or all of protecting group of B of a PNA oligomer to which is bonded one type or two or more types of a compound represented by the following general formula (I):

[Chemical 8]

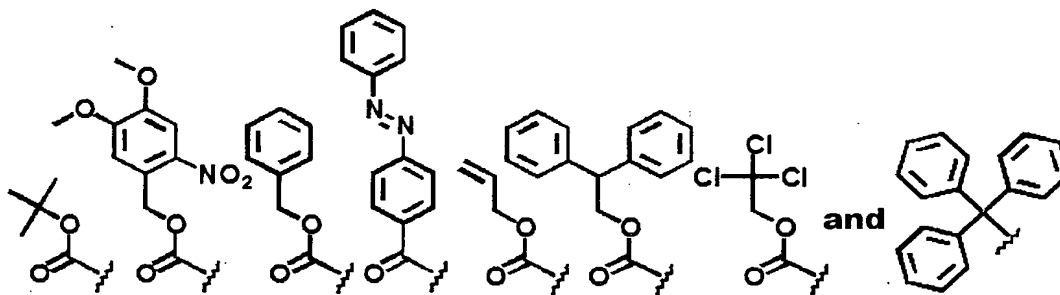


(wherein B represents H, a functional molecule or a protecting group, and n represents an integer of 1 to 11) sequentially or simultaneously with a functional molecule.

(e) Correct Claim 8 as follows:

The method according to claim 10, wherein the functional molecule in B is selected from the group consisting of the following.

[Chemical 9]



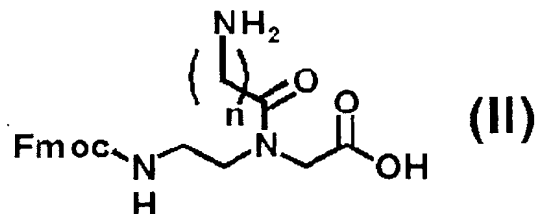
(f) Correct Claim 9 as follows:

The method according to claim 6 or 7, wherein the compound represented by general formula (I) is produced by one of the steps of the following a) through c):

a) a step of reacting a compound represented by the following

general formula (II):

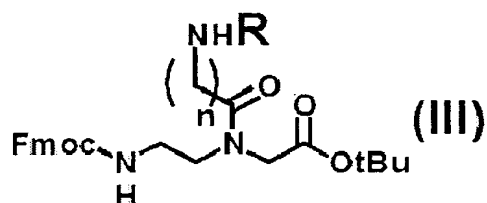
[Chemical 10]



(wherein n represents an integer of 1 to 11) with an active ester derivative with OSu or OPfp of a functional molecule or an isothiocyanate derivative of a functional molecule;

b) a step of hydrolyzing a compound represented by the following general formula (III):

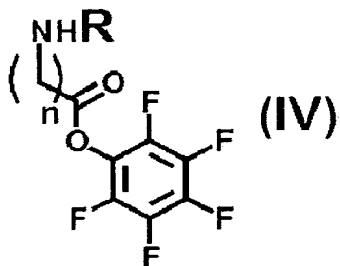
[Chemical 11]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11); and,

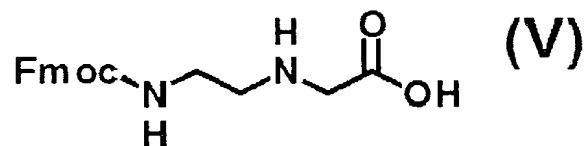
c) a step of reacting a compound represented by the following general formula (IV):

[Chemical 12]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11) with a compound represented by the following general formula (V).

[Chemical 13]



6. List of documents attached

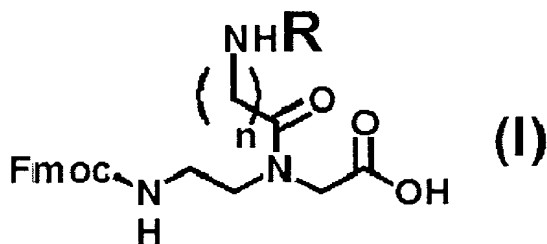
- (1) Pages 11, 12, 13, 14, 15, 31 and 32 of Specification
- (2) Pages 45, 46, 47, 48, and 49 of Claims

# DISCLOSURE OF THE INVENTION

As a result of extensive research in consideration of the aforementioned problems, the inventors of the present invention surprisingly found that by using a diverse range of novel precursor Fmoc-type PNA monomer units and a production method thereof, the aforementioned problems of the prior art are overcome, and an extremely diverse range of functional PNA monomer units and functional PNA oligomers can be synthesized, thereby leading to completion of the present invention.

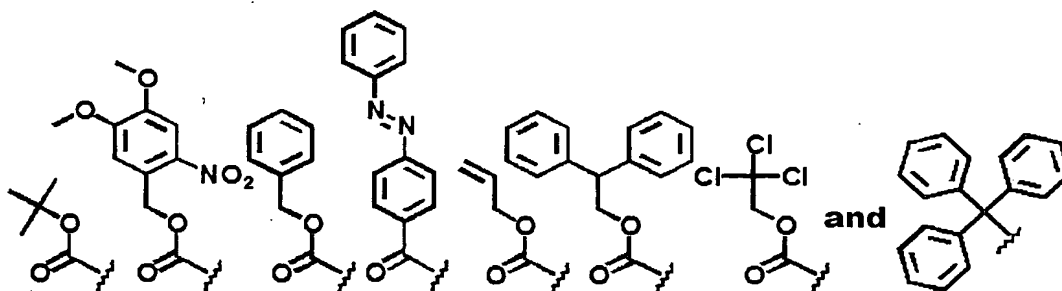
Namely, the present invention relates to a compound represented by the following general formula (I):

[Chemical 23]



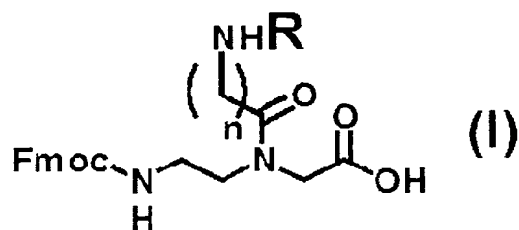
(wherein R is selected from the group of the following functional molecules, and n represents an integer of 1 to 11).

[Chemical 24]



In addition, the present invention relates to a method for producing a compound represented by the following general formula (I):

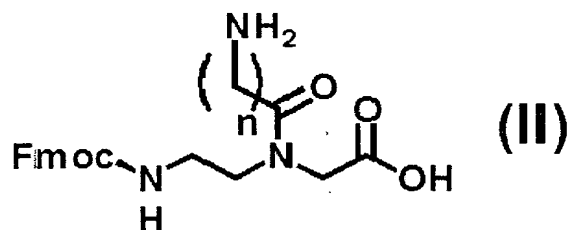
[Chemical 25]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11), wherein the aforementioned method contains one of the following steps a) and b):

a) a step of reacting a compound represented by the following general formula (II):

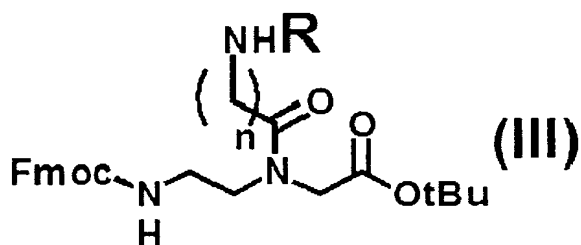
[Chemical 26]



(wherein n represents an integer of 1 to 11) with an active ester derivative with OSu or OPfp of a functional molecule or an isothiocyanate derivative of a functional molecule, and

b) a step of hydrolyzing a compound represented by the following general formula (III):

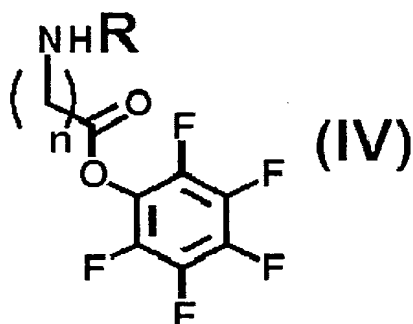
[Chemical 27]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11); as well as,

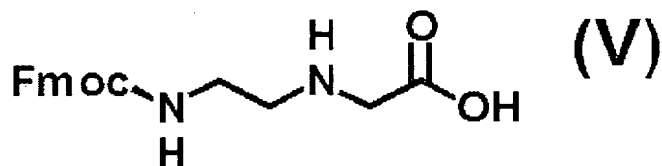
c) a step of reacting a compound represented by the following general formula (IV):

[Chemical 28]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11) with a compound represented by the following general formula (V).

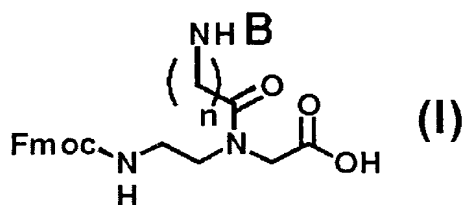
[Chemical 29]



Moreover, the present invention relates to a method for producing a functional PNA oligomer that contains a step of substituting sequentially or simultaneously with a functional

molecule H, or a part or all of the protecting groups in B of a PNA oligomer to which is bonded one type or two or more types of a compound represented by the following general formula (I):

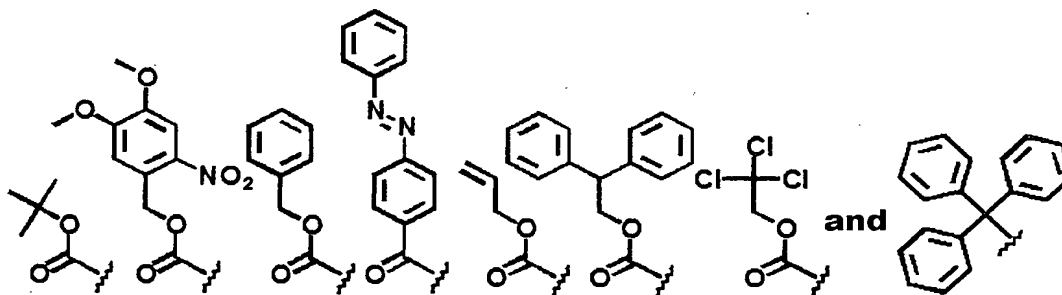
[Chemical 30]



(wherein B represents H, a functional molecule or a protecting group, and n represents an integer of 1 to 11).

In addition, the present invention relates to the aforementioned method wherein R is selected from the group consisting of the following.

[Chemical 31]

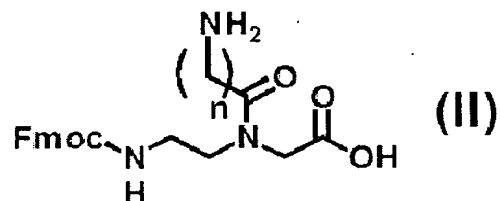


Moreover, the present invention relates to the aforementioned method wherein the compound represented by general formula (I) is produced by one of the steps of the following a) through c):

a) a step of reacting a compound represented by the following

general formula (II):

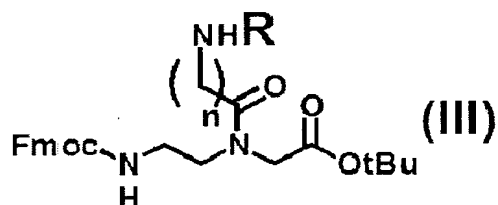
[Chemical 32]



(wherein n represents an integer of 1 to 11) with an active ester derivative with OSu or OPfp of a functional molecule or an isothiocyanate derivative of a functional molecule;

b) a step of hydrolyzing a compound represented by the following general formula (III):

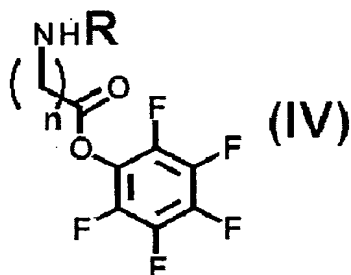
[Chemical 33]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11); and,

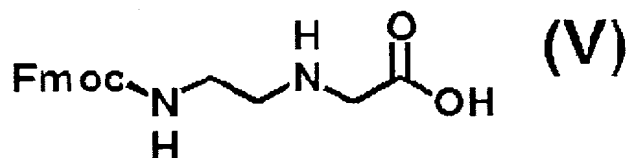
c) a step of reacting a compound represented by the following general formula (IV):

[Chemical 34]

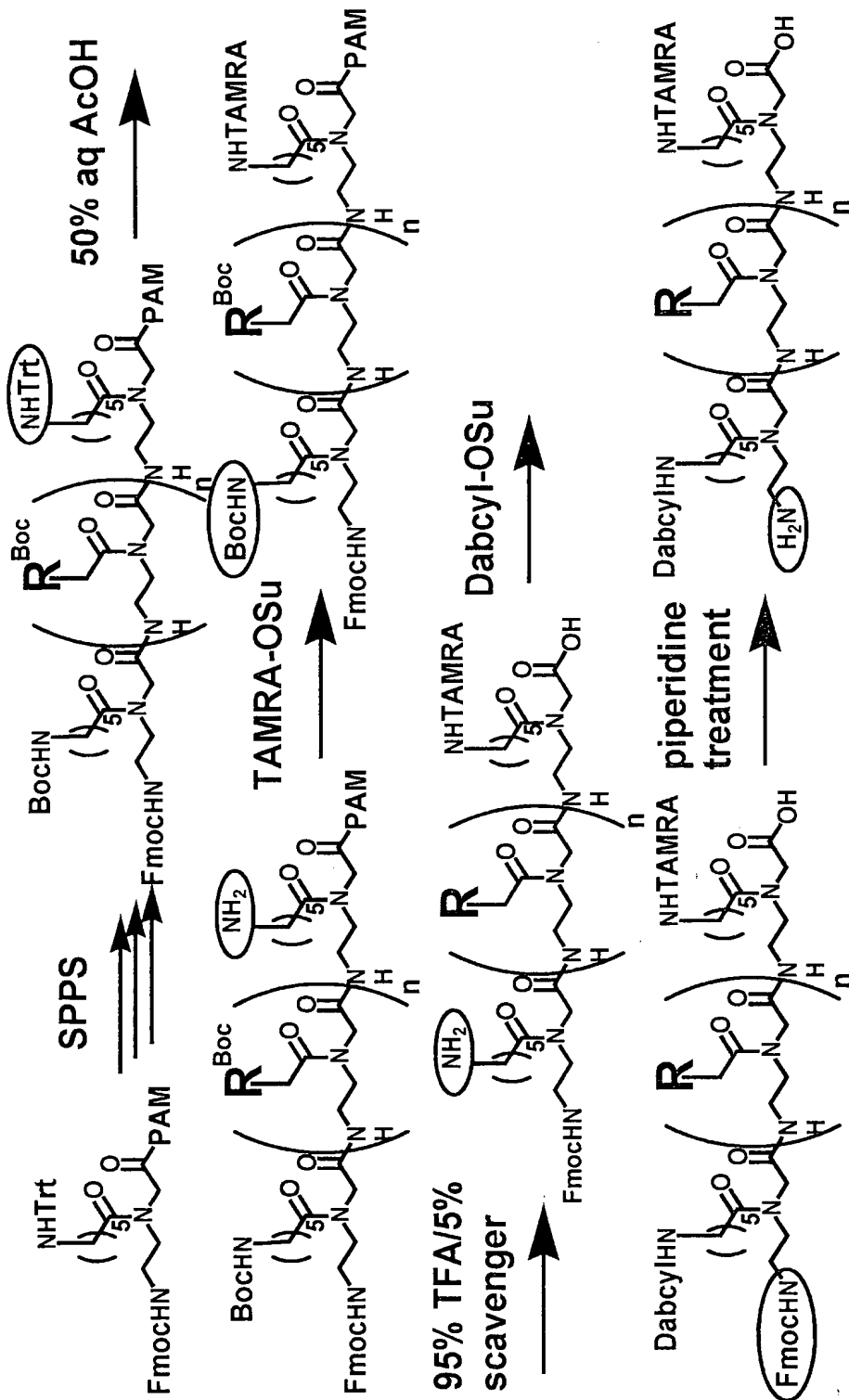


(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11) with a compound represented by the following general formula (V).

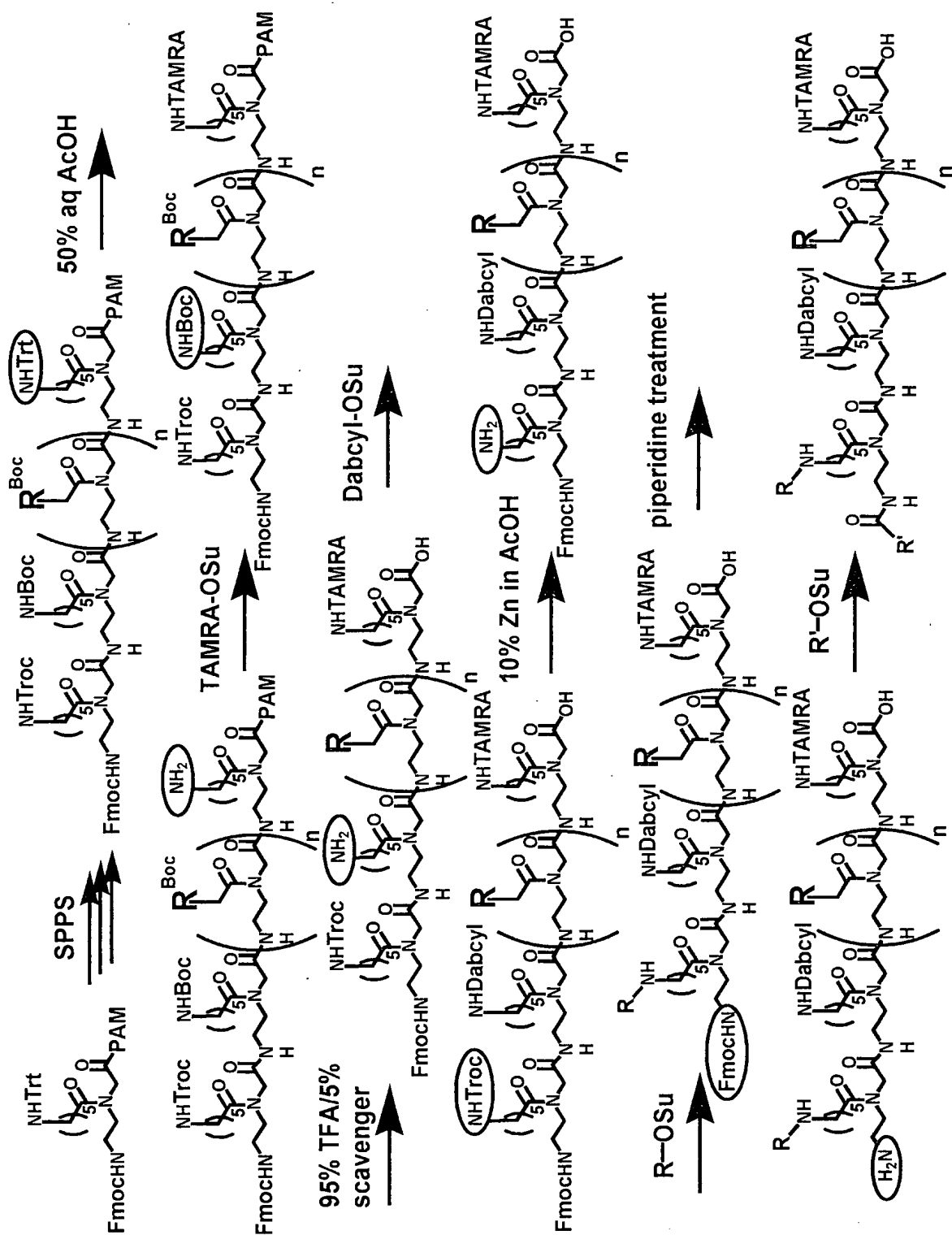
[Chemical 35]



In addition, the present invention relates to the aforementioned method wherein the functional molecule is one type or two or more types selected from a light-emitting molecule, light-dissipating molecule, membrane-permeating functional molecule, organ-selective functional molecule, bactericidal functional molecule, molecule-recognizing functional molecule, photo-crosslinking functional molecule,



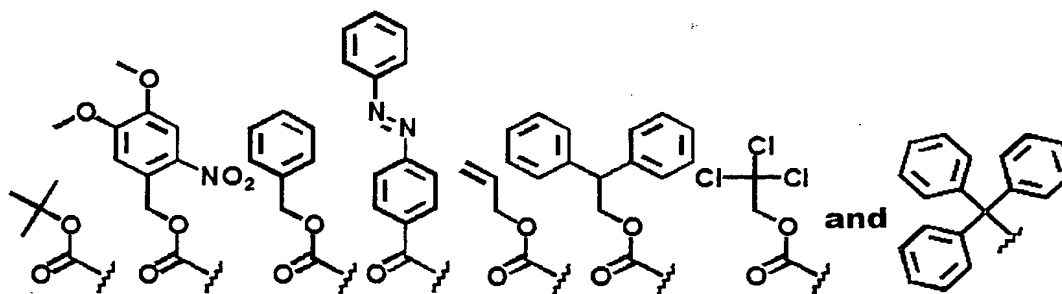




1. (Amended) A compound represented by the following  
general formula (I):

$$\text{Fmoc-NH-CH}_2\text{-CH}_2\text{-N(CH}_2\text{)}_n\text{-CH}_2\text{-COOH} \quad \text{(I)}$$

[Chemical 2]



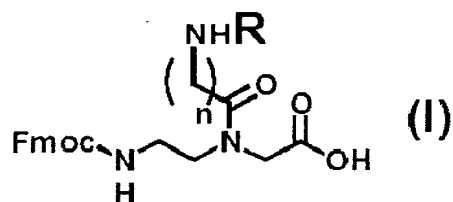
3. (Deleted)

4. (Deleted)

5. (Deleted)

6. (Amended) A method for producing a compound represented by the following general formula (I):

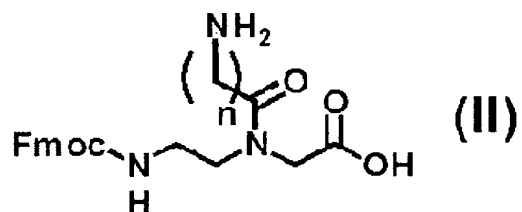
[Chemical 3]



(wherein R represents a functional molecule or protecting group, and n represents an integer of 1 to 11); wherein the aforementioned method contains one of the following steps a) through c):

a) a step of reacting a compound represented by the following general formula (II):

[Chemical 4]

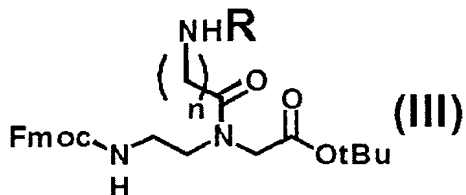


(wherein n represents an integer of 1 to 11) with an active ester derivative with OSu or OPfp of a functional molecule or an

isothiocyanate derivative of a functional molecule;

b) a step of hydrolyzing a compound represented by the following general formula (III):

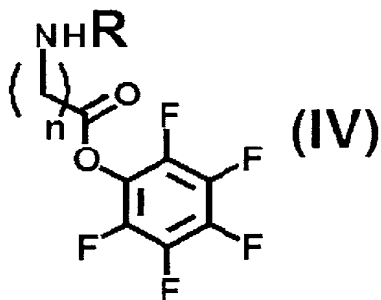
[Chemical 5]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11); and,

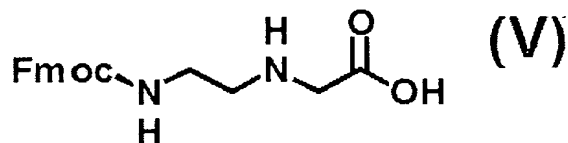
c) a step of reacting a compound represented by the following general formula (IV):

[Chemical 6]



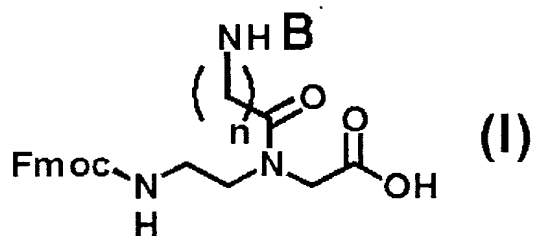
(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11) with a compound represented by the following general formula (V).

[Chemical 7]



7. (Amended) A method for producing a functional PNA oligomer comprising a step of substituting H or a part or all of protecting group of B of a PNA oligomer to which is bonded one type or two or more types of a compound represented by the following general formula (I):

[Chemical 8]

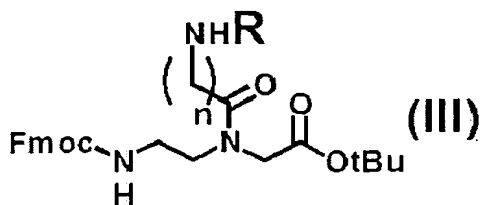


(wherein B represents H, a functional molecule or a protecting group, and n represents an integer of 1 to 11) sequentially or simultaneously with a functional molecule.

8. (Amended) The method according to claim 10, wherein the functional molecule in B is selected from the group consisting of the following.

[Chemical 9]

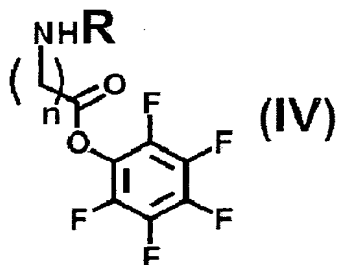




(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11); and,

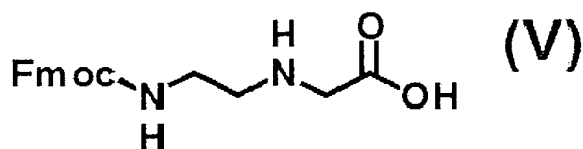
c) a step of reacting a compound represented by the following general formula (IV):

[Chemical 12]



(wherein R represents a functional molecule or a protecting group, and n represents an integer of 1 to 11) with a compound represented by the following general formula (V).

[Chemical 13]



10. The method according to any of claims 10 through 12, wherein the functional molecule is one type or two or more types selected from a light-emitting molecule, light-dissipating molecule, membrane-permeating functional molecule, organ-selective

functional molecule, bactericidal functional molecule, molecule-recognizing functional molecule, photo-crosslinking functional molecule, photosensitizing functional molecule, DNA-bonding molecule and DNA-severing functional molecule.

11. The method according to claim 10, wherein the functional molecule contains a light-emitting molecule and a membrane-permeating functional molecule, and the membrane-permeating functional molecule is a water-soluble amino acid.

12. The method according to claim 10, wherein the functional molecule contains a light-emitting molecule and a light-dissipating molecule, and the light-emitting molecule is FITC, naphthalimide, flavin, FAM, rhodamine, TAMRA, ROX, pyrene or coumarine, and the light-dissipating molecule is Dabcyl, HABA, NDI or Azo.